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JHV-010.02

Table 1. Effects of synthetic and plant-derived compounds on cholesterol homeostasis.

A. Cholesterol Biosynthesis Assay						
	Control	AY9944 (μ M)	Triparanol (μ M)	Jervine (μ M)	Cyclopamine (μ M)	Tomatidine (μ M)
Total Sterols (μ g/mg protein)	9.6	0.25 0.5 1.0 7.6 8.3 8.3	0.25 0.5 1.0 4.1 5.1 3.1	1.25 2.5 5.0 8.8 8.4 10	1.25 2.5 5.0 8.4 8.5 8.3	1.25 2.5 5.0 7.8 7.8 5.8
Percent Sterols						
Cholesterol	95	30 33 34	58 45 51	90 90 88	87 76 68	54 42 32
Non-Cholesterol Sterols						
1. C27 Sterols						
a. Desmosterol	1.9		9.1 8.7 6.7	2.5 2.4 2.7	4.2 7.1 11	
b. 7 Dehydrodesmosterol		3.5 3.0 1.9	6.0 4.1 2.9		0.8	0.8 0.8 0.5
c. Cholesta-7,24-dien-3 β -ol		1.8 1.9 1.6	3.1 2.4 2.6	0.5 0.5	0.6 0.9 1.6	0.9 0.9 0.7
d. Zymosterol			9.3 27 23	1.7 2.0 2.3	2.3 4.5 4.7	
e. Cholesta-8(14)-en-3 β -ol		9.7 14 20	8.1 8.7 7.3	1.0 1.7 2.3	0.8 2.5 2.7	6.7 8.9 8.7
f. 7 Dehydrocholesterol		50 36 16		1.5 1.4 1.3	2.4 4.2 5.3	19 14 9.8
g. Lathosterol	1.3	6.2 7.3 7.9				4.9 4.7 3.6
h. C27 Sterol 1 (mw 384)		5.3				7.0 13 20
i. C27 Sterol 2 (mw 382)		6.0				4.1 4.7
j. C27 Oxysterol 1 (mw 400)						1.0 2.4 4.5
k. C27 Oxysterol 2 (mw 400)						2.0 5.0 11
2. C28 Sterols	0.7	1.1 2.6	4.4 2.6 1.5	1.2 0.7 0.7	1.2 1.3 1.8	1.6
3. C29 Sterols	1	3.3 4.6	7.8 8.1 5.5	0.8 0.8 1.6	0.7 1.2 3.1	3.1

B. Cholesterol Esterification Assay						
		AY9944 (μ M)	Triparanol (μ M)	Jervine (μ M)	Cyclopamine (μ M)	Tomatidine (μ M)
Percent Inhibition (incorporation of label into cholesteryl ester*)		2.5 5.0 10	2.5 5.0 10	2.5 5.0 10	2.5 5.0 10	2.5 5.0 10
³ H-Cholesterol	39	56 68	49 57 79	24 44 50	48 67 79	31 33 39
¹⁴ C-Oleic Acid	20	35 51	54 65 81	28 36 49	45 62 74	30 84 52

* The percent of label taken up that was converted to cholesteryl ester was 8% hour for ³H-cholesterol and 3.6% hour for ¹⁴C-oleic acid